Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssspta1201txs

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America
NEWS 2 "Ask CAS" for self-help around the clock
NEWS 3 OCT 23 The Derwent World Patents Index suite of databases on STN has been enhanced and reloaded
NEWS 4 OCT 30 CHEMLIST enhanced with new search and display field
NEWS 5 NOV 03 JAPIO enhanced with IPC 8 features and functionality
NEWS 6 NOV 10 CA/CAplus F-Term thesaurus enhanced
NEWS 7 NOV 10 STN Express with Discover! free maintenance release Version 8.01c now available
NEWS 8 NOV 20 CA/CAplus to MARPAT accession number crossover limit increased to 50,000
NEWS 9 DEC 01 CAS REGISTRY updated with new ambiguity codes
NEWS 10 DEC 11 CAS REGISTRY chemical nomenclature enhanced
NEWS 11 DEC 14 WPIDS/WPINDEX/WPIX manual codes updated
NEWS 12 DEC 14 GBFULL and FRFULL enhanced with IPC 8 features and functionality
NEWS 13 DEC 18 CA/CAplus pre-1967 chemical substance index entries enhanced with preparation role
NEWS 14 DEC 18 CA/CAplus patent kind codes updated
NEWS 15 DEC 18 MARPAT to CA/CAplus accession number crossover limit increased to 50,000
NEWS 16 DEC 18 MEDLINE updated in preparation for 2007 reload
NEWS 17 DEC 27 CA/CAplus enhanced with more pre-1907 records
NEWS 18 JAN 08 CHEMLIST enhanced with New Zealand Inventory of Chemicals
NEWS 19 JAN 16 CA/CAplus Company Name Thesaurus enhanced and reloaded
NEWS 20 JAN 16 IPC version 2007.01 thesaurus available on STN
NEWS 21 JAN 16 WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data
NEWS 22 JAN 22 CA/CAplus updated with revised CAS roles
NEWS 23 JAN 22 CA/CAplus enhanced with patent applications from India
NEWS 24 JAN 29 PHAR reloaded with new search and display fields
NEWS 25 JAN 29 CAS Registry Number crossover limit increased to 300,000 in

multiple databases
NEWS 26 FEB 13 CASREACT coverage to be extended
NEWS 27 Feb 15 PATDPASPC enhanced with Drug Approval numbers
NEWS 28 Feb 15 RUSSIAPAT enhanced with pre-1994 records

NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS LOGIN Welcome Banner and News Items
NEWS IPC8 For general information regarding STN implementation of IPC 8
NEWS X25 X.25 communication option no longer available

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 16:01:00 ON 15 FEB 2007

=> file reg
COST IN U.S. DOLLARS SINCE FILE ENTRY 0.21 TOTAL SESSION 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 16:01:33 ON 15 FEB 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.


Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 14 FEB 2007 HIGHEST RN 921041-62-5
DICTIONARY FILE UPDATES: 14 FEB 2007 HIGHEST RN 921041-62-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

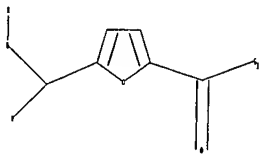
Please note that search-term pricing does apply when conducting SmartSELECT searches.

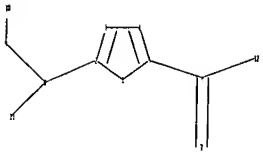
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of

experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10814525.str





chain nodes :
6 7 8 9 10 12 13
ring nodes :
1 2 3 4 5
chain bonds :
2-8 5-6 6-7 6-12 8-9 8-13 9-10
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
6-7 6-12 8-9
exact bonds :

1-2 1-5 2-3 2-8 3-4 4-5 5-6 8-13 9-10
isolated ring systems :
containing 1 :

G1:O,N,Cy,Ak

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS 12:CLASS 13:CLASS

L1 STRUCTURE UPLOADED

=> s l1
SAMPLE SEARCH INITIATED 16:01:52 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 1787 TO ITERATE

100.0% PROCESSED 1787 ITERATIONS 36 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 33205 TO 38275
PROJECTED ANSWERS: 360 TO 1080

L2 36 SEA SSS SAM L1

=> s l1 ful
FULL SEARCH INITIATED 16:01:58 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 35075 TO ITERATE

100.0% PROCESSED 35075 ITERATIONS 572 ANSWERS
SEARCH TIME: 00.00.01

L3 572 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 172.10 172.31

FILE 'CAPLUS' ENTERED AT 16:02:05 ON 15 FEB 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 15 Feb 2007 VOL 146 ISS 8
FILE LAST UPDATED: 14 Feb 2007 (20070214/ED)

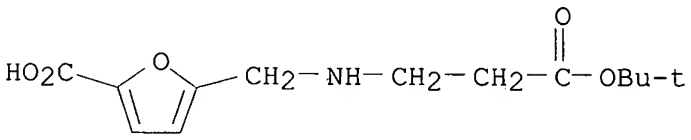
Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

```
=> s l3
L4          105 L3

=> s l4 and amino acid
       1105695 AMINO
            44 AMINOS
       1105713 AMINO
                  (AMINO OR AMINOS)
       4314120 ACID
       1568872 ACIDS
       4815460 ACID
                  (ACID OR ACIDS)
        703931 AMINO ACID
                  (AMINO(W)ACID)
L5          12 L4 AND AMINO ACID


=> d l5 ibib hitstr abs 1-12

L5   ANSWER 1 OF 12  CAPLUS  COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER:         2006:795651  CAPLUS
DOCUMENT NUMBER:          145:230883
TITLE:                    Preparation of insulin derivatives
INVENTOR(S):              Kodra, Janos Tibor; Garibay, Patrick William;
                          Hoeg-Jensen, Thomas; Jonassen, Ib; Madsen, Peter;
                          Tagmose, Tina Moeller
PATENT ASSIGNEE(S):       Novo Nordisk A/S, Den.
SOURCE:                   PCT Int. Appl., 100pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
     ---------------      ----   --------    --------------------    --------
```

WO 2006082204 A1 20060810 WO 2006-EP50593 20060201
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
PRIORITY APPLN. INFO.: DK 2005-157 A 20050202

OTHER SOURCE(S): MARPAT 145:230883
IT 905302-47-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of insulin derivs.)
RN 905302-47-8 CAPLUS
CN 2-Furancarboxylic acid, 5-[[[3-(1,1-dimethylethoxy)-3-oxopropyl]amino]methyl]- (9CI) (CA INDEX NAME)



AB The invention relates to insulin derivs. having a side chain attached either to the α-amino group of the N-terminal amino acid residue of the B chain or to the ε-amino group of a Lys residue present in the B chain of the parent insulin. The side chain comprises at least one aromatic group, at least one free carboxylic acid group or a group which is neg. charged at neutral pH, a fatty acid moiety with 4 to 22 carbon atoms in the carbon chain, and possible linkers which link the individual components in the side chain together via amide bonds.
Thus, NεB29-10-(4-carboxyphenylthio)decanoyl-γ-L-glutamyl desB30 human insulin was prepared by coupling of O-protected N-[10-(4-carboxyphenylthio)decanoyl]-L-glutamic acid (preparation given) with human desB30 insulin and showed 101% insulin receptor binding, vs. 100% for human insulin.

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L5 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:1078223 CAPLUS
DOCUMENT NUMBER: 143:347451
TITLE: Synthesis of chiral furan amino acids as novel peptide building blocks
INVENTOR(S): Chakraborty, Tushar Kanti; Tapadar, Subhasish
PATENT ASSIGNEE(S): India
SOURCE: U.S. Pat. Appl. Publ., 20 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 2005222088 | A1 | 20051006 | US 2004-814525 | 20040331 |
| WO 2005095371 | A1 | 20051013 | WO 2004-IB3528 | 20041028 |

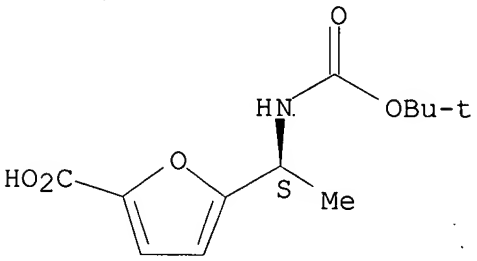
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2004-814525 A 20040331

OTHER SOURCE(S): CASREACT 143:347451; MARPAT 143:347451
IT 828259-68-3P 828259-69-4P 828259-70-7P
828259-72-9P 828259-74-1P 828259-76-3P
866045-72-9P 866045-99-0P 866046-01-7P
866046-02-8P 866046-03-9P 866046-05-1P
866046-07-3P 866046-08-4P 866046-10-8P
866046-11-9P 866046-12-0P 866046-14-2P
866046-16-4P 866046-17-5P 866046-19-7P
866046-20-0P 866046-21-1P 866046-23-3P
866046-25-5P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(synthesis of chiral furan amino acids as novel peptide building blocks)
RN 828259-68-3 CAPLUS
CN 2-Furancarboxylic acid, 5-[(1S)-1-[[(1,1-dimethylethoxy)carbonyl]amino]eth

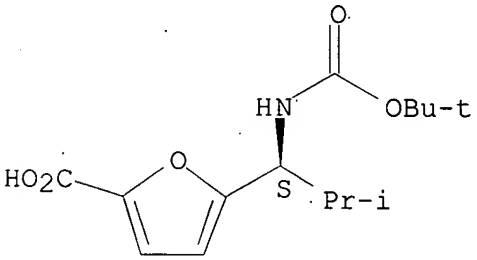
yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



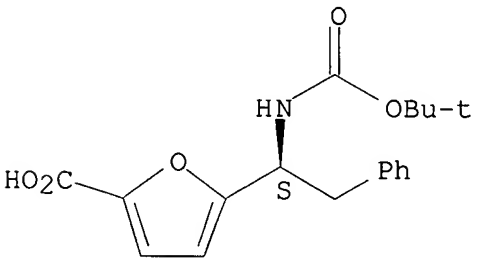
RN 828259-69-4 CAPLUS
CN 2-Furancarboxylic acid,
5-[(1S)-1-[[(1,1-dimethylethoxy)carbonyl]amino]-2-
methylpropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 828259-70-7 CAPLUS
CN 2-Furancarboxylic acid,
5-[(1S)-1-[[(1,1-dimethylethoxy)carbonyl]amino]-2-
phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

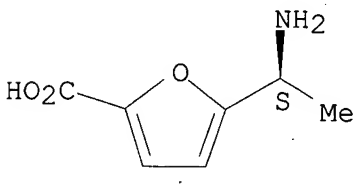


RN 828259-72-9 CAPLUS
CN 2-Furancarboxylic acid, 5-[(1S)-1-aminoethyl]-, trifluoroacetate (9CI)
(CA INDEX NAME)

CM 1

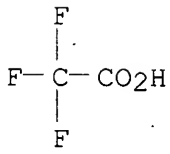
CRN 828259-71-8
CMF C7 H9 N O3

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

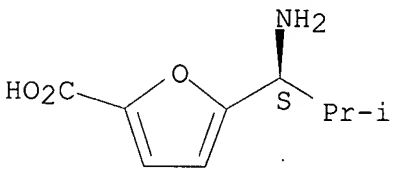


RN 828259-74-1 CAPLUS
CN 2-Furancarboxylic acid, 5-[(1S)-1-amino-2-methylpropyl]-, trifluoroacetate
(9CI) (CA INDEX NAME)

CM 1

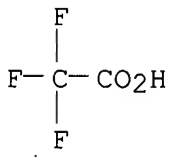
CRN 828259-73-0
CMF C9 H13 N O3

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

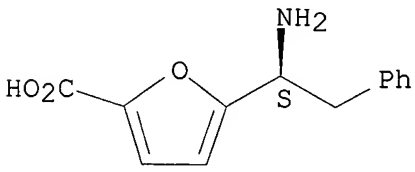


RN 828259-76-3 CAPLUS
CN 2-Furancarboxylic acid, 5-[(1S)-1-amino-2-phenylethyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

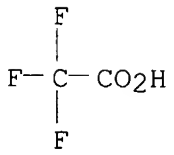
CRN 828259-75-2
CMF C13 H13 N O3

Absolute stereochemistry.



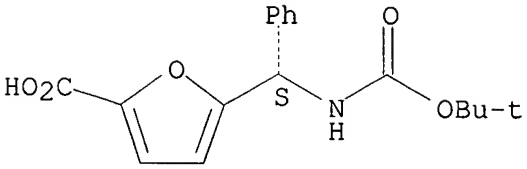
CM 2

CRN 76-05-1
CMF C2 H F3 O2



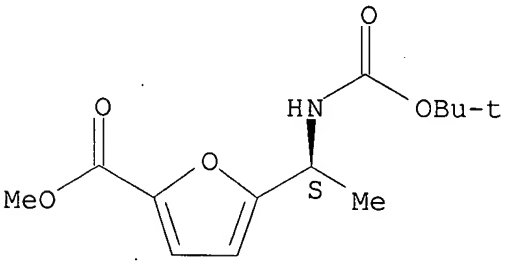
RN 866045-72-9 CAPLUS
CN 2-Furancarboxylic acid, 5-[(S)-[[(1,1-dimethylethoxy)carbonyl]amino]phenylmethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 866045-99-0 CAPLUS
CN 2-Furancarboxylic acid,
5-[(1S)-1-[[(1,1-dimethylethoxy)carbonyl]amino]eth
yl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

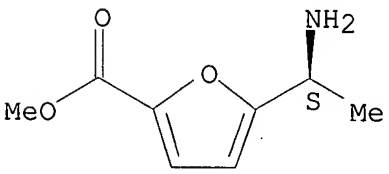


RN 866046-01-7 CAPLUS
CN 2-Furancarboxylic acid, 5-[(1S)-1-aminoethyl]-, methyl ester,
trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

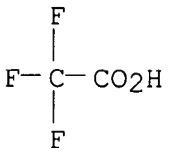
CRN 866046-00-6
CMF C8 H11 N O3

Absolute stereochemistry.



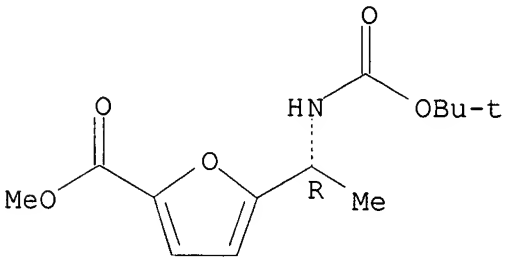
CM 2

CRN 76-05-1
CMF C2 H F3 O2



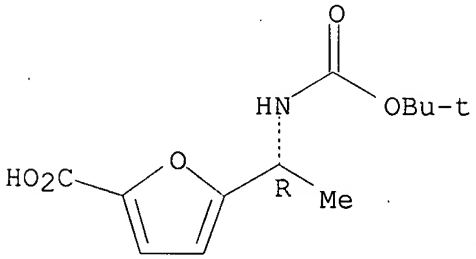
RN 866046-02-8 CAPLUS
CN 2-Furancarboxylic acid,
5-[(1R)-1-[[(1,1-dimethylethoxy)carbonyl]amino]eth
yl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 866046-03-9 CAPLUS
CN 2-Furancarboxylic acid,
5-[(1R)-1-[[(1,1-dimethylethoxy)carbonyl]amino]eth
yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

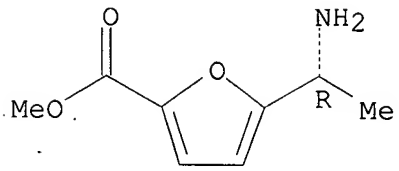


RN 866046-05-1 CAPLUS
CN 2-Furancarboxylic acid, 5-[(1R)-1-aminoethyl]-, methyl ester,
trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

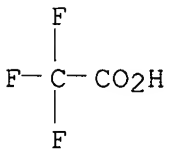
CRN 866046-04-0
CMF C8 H11 N O3

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

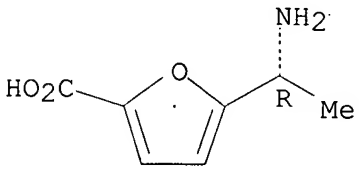


RN 866046-07-3 CAPLUS
CN 2-Furancarboxylic acid, 5-[(1R)-1-aminoethyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

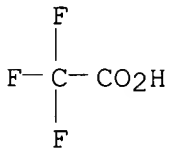
CRN 866046-06-2
CMF C7 H9 N O3

Absolute stereochemistry.



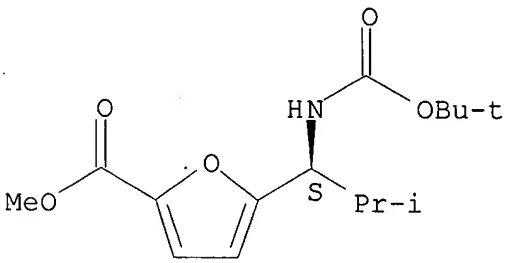
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 866046-08-4 CAPLUS
CN 2-Furancarboxylic acid,
5-[(1S)-1-[[(1,1-dimethylethoxy)carbonyl]amino]-2-
methylpropyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

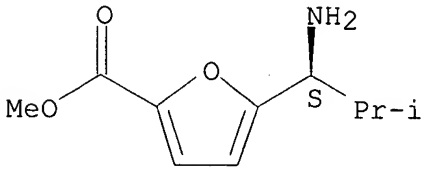


RN 866046-10-8 CAPLUS
CN 2-Furancarboxylic acid, 5-[(1S)-1-amino-2-methylpropyl]-, methyl ester,
trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

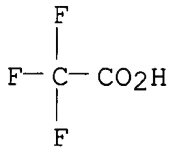
CRN 866046-09-5
CMF C10 H15 N O3

Absolute stereochemistry.



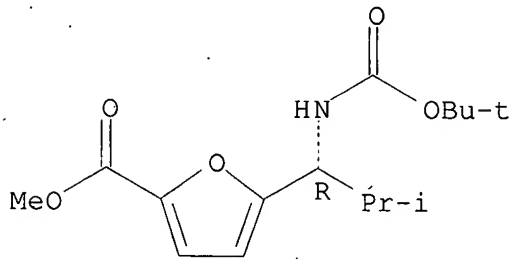
CM 2

CRN 76-05-1
CMF C2 H F3 O2



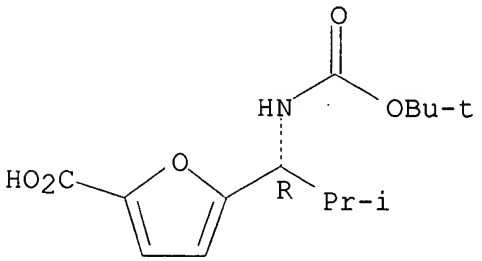
RN 866046-11-9 CAPLUS
CN 2-Furancarboxylic acid,
5-[(1R)-1-[[(1,1-dimethylethoxy)carbonyl]amino]-2-
methylpropyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 866046-12-0 CAPLUS
CN 2-Furancarboxylic acid,
5-[(1R)-1-[[(1,1-dimethylethoxy)carbonyl]amino]-2-
methylpropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

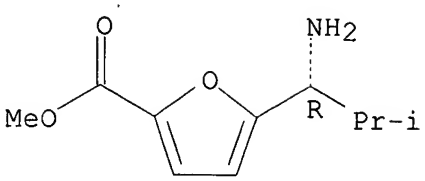


RN 866046-14-2 CAPLUS
CN 2-Furancarboxylic acid, 5-[(1R)-1-amino-2-methylpropyl]-, methyl ester,
trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

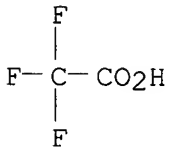
CRN 866046-13-1
CMF C10 H15 N O3

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

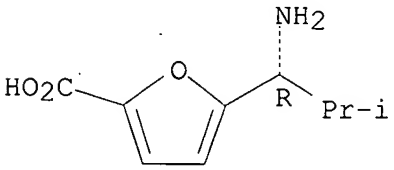


RN 866046-16-4 CAPLUS
CN 2-Furancarboxylic acid, 5-[(1R)-1-amino-2-methylpropyl]-, trifluoroacetate
(9CI) (CA INDEX NAME)

CM 1

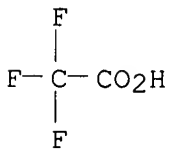
CRN 866046-15-3
CMF C9 H13 N O3

Absolute stereochemistry.



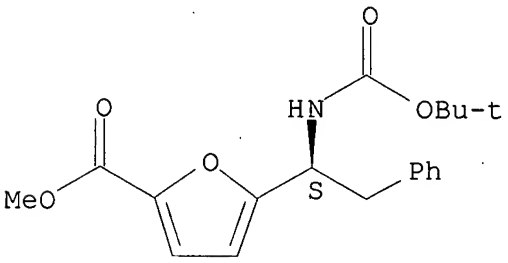
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 866046-17-5 CAPLUS
CN 2-Furancarboxylic acid,
5-[(1S)-1-[[(1,1-dimethylethoxy)carbonyl]amino]-2-
phenylethyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

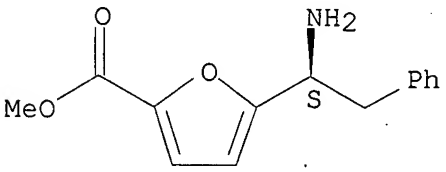


RN 866046-19-7 CAPLUS
CN 2-Furancarboxylic acid, 5-[(1S)-1-amino-2-phenylethyl]-, methyl ester,
trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

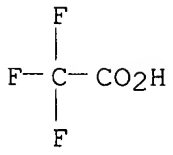
CRN 866046-18-6
CMF C14 H15 N O3

Absolute stereochemistry.



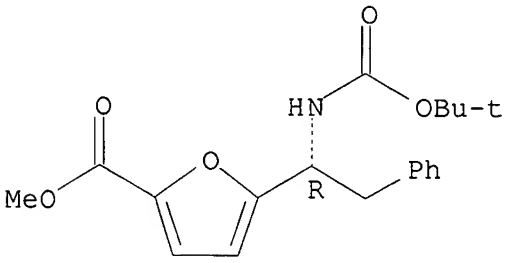
CM 2

CRN 76-05-1
CMF C2 H F3 O2



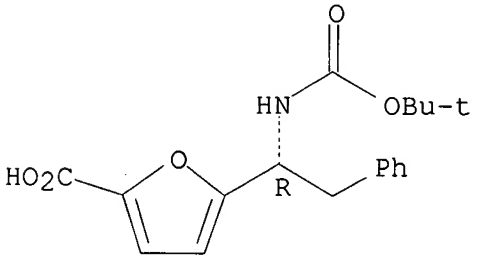
RN 866046-20-0 CAPLUS
CN 2-Furancarboxylic acid, 5-[(1R)-1-[[(1,1-dimethylethoxy)carbonyl]amino]-2-phenylethyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 866046-21-1 CAPLUS
CN 2-Furancarboxylic acid, 5-[(1R)-1-[[(1,1-dimethylethoxy)carbonyl]amino]-2-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

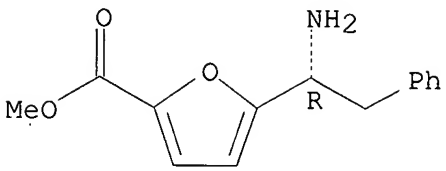


RN 866046-23-3 CAPLUS
CN 2-Furancarboxylic acid, 5-[(1R)-1-amino-2-phenylethyl]-, methyl ester, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

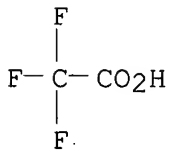
CRN 866046-22-2
CMF C14 H15 N O3

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

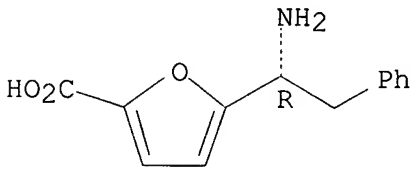


RN 866046-25-5 CAPLUS
CN 2-Furancarboxylic acid, 5-[(1R)-1-amino-2-phenylethyl]-, trifluoroacetate
(9CI) (CA INDEX NAME)

CM 1

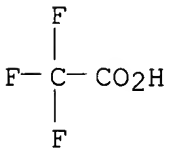
CRN 866046-24-4
CMF C13 H13 N O3

Absolute stereochemistry.

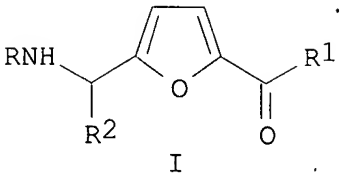


CM 2

CRN 76-05-1
CMF C2 H F3 O2



GI



AB The invention provides chiral furan amino acids I (R = H, including HCl and CF3CO2H salts, Ac, Boc, Cbz, Fmoc; R1 = OH, alkoxy, an amino group, etc.; R2 = amino acid side chain), an important class of conformationally-constrained peptide-based mols. that can be used as dipeptide isosteres in peptidomimetic studies. I were prepared from N-terminal-protected amino aldehydes derived from the corresponding N-terminal-protected protected L- or D-amino acids. Thus, (S)-I (R = Boc, R1 = OH, R2 = Me) was prepared by a multistep sequence starting with condensation of Boc-L-alaninal with 3,4-O-isopropylidene-1,1-dibromo-1-butene-3,4-diol.

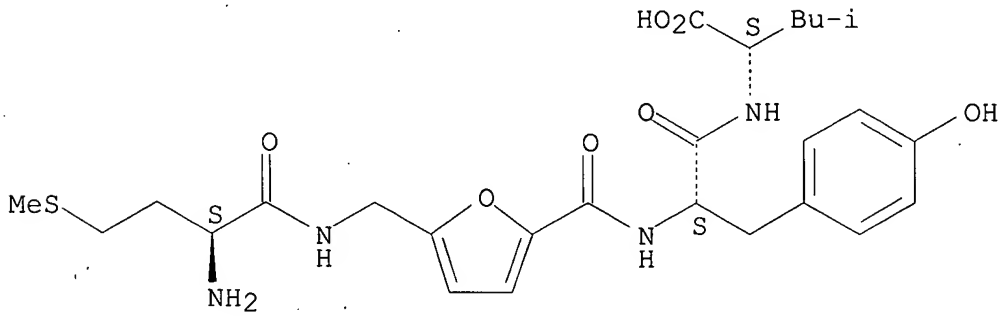
L5 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:674799 CAPLUS
DOCUMENT NUMBER: 143:306538
TITLE: Furanoid sugar amino acids as dipeptide mimics in design of analogs of vasoactive intestinal peptide receptor binding inhibitor
AUTHOR(S): Prasad, S.; Mathur, A.; Jaggi, M.; Sharma, R.; Gupta, N.; Reddy, V. R.; Sudhakar, G.; Kumar, S. U.; Kumar, S. K.; Kunwar, A. C.; Chakraborty, T. K.
CORPORATE SOURCE: Dabur Research Foundation, Sahibabad, India
SOURCE: Journal of Peptide Research (2005), 66(2), 75-84
CODEN: JPERFA; ISSN: 1397-002X
PUBLISHER: Blackwell Publishing Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 840540-68-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL

(Biological study); PREP (Preparation)
(preparation of furanoid sugar amino acid-containing peptides, and evaluation of their in vitro anticancer activity)

RN 840540-68-3 CAPLUS

CN L-Leucine, L-methionyl-5-(aminomethyl)-2-furancarbonyl-L-tyrosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



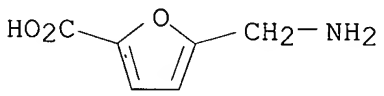
IT 934-65-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

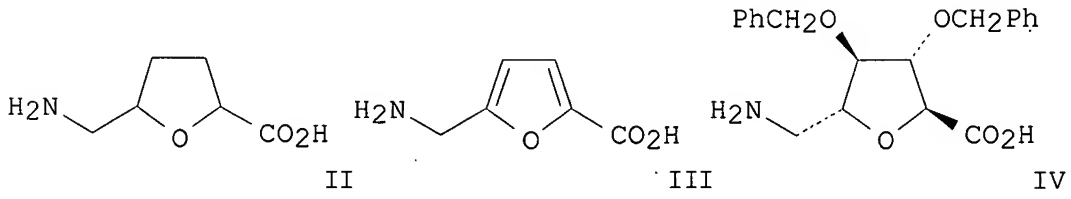
(Reactant or reagent)
(preparation of furanoid sugar amino acid-containing peptides, and evaluation of their in vitro anticancer activity)

RN 934-65-6 CAPLUS

CN 2-Furancarboxylic acid, 5-(aminomethyl)- (9CI) (CA INDEX NAME)



GI



II III IV

AB This study describes the development of peptidomimetic analogs of the potent vasoactive intestinal peptide (VIP) receptor binding inhibitor, Leu1-Met2-Tyr3-Pro4-Thr5-Tyr6-Leu7-Lys8-OH (I), by incorporating furanoid

sugar amino acids II (cis isomers), III and IV into the peptide. II-IV were used as dipeptide isosteres to replace Tyr3-Pro4 or Pro4-Thr5 in sequence I. The resulting peptides were tested for their anticancer activities in vitro, following the standard MTT assay on a panel of human cancer cell lines. One of the potent analogs was tested in vivo for tumor regression on primary colon tumor xenografted nude mice. These exptl. results suggest that majority of these analogs show either retention or enhancement of biol. activity.

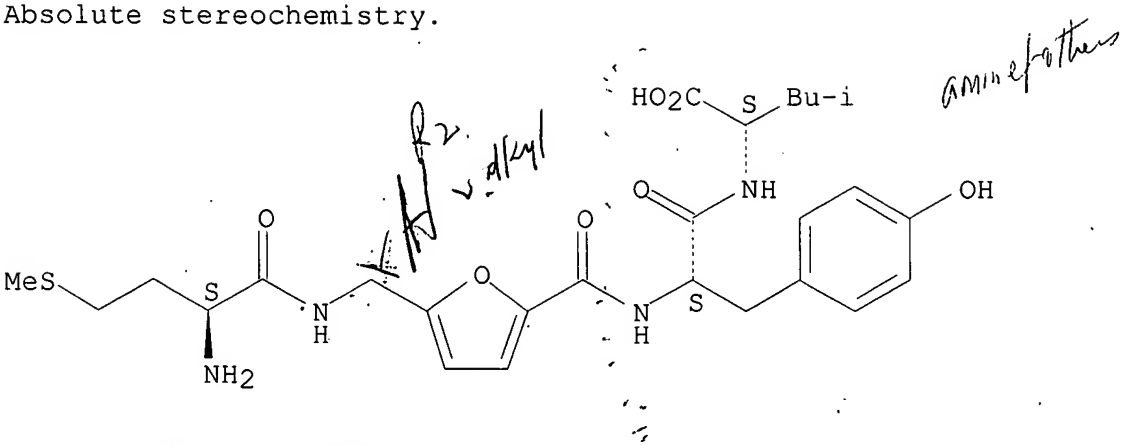
REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:122788 CAPLUS
DOCUMENT NUMBER: 142:219563
TITLE: Preparation of novel peptides comprising furanoid sugar amino acids for the treatment of cancer
INVENTOR(S): Prasad, Sudhanand; Chakraborty, Tushar Kanti; Mathur, Archna; Jaggi, Manu; Kunwar, Ajit Chand; Mukherjee, Rama; Burman, Anand C.
PATENT ASSIGNEE(S): Dabur Research Foundation, USA
SOURCE: U.S. Pat. Appl. Publ., 20 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 2005032707 | A1 | 20050210 | US 2003-638107 | 20030808 |
| US 7060678 | B2 | 20060613 | | |
| PRIORITY APPLN. INFO.: | | | US 2003-638107 | 20030808 |

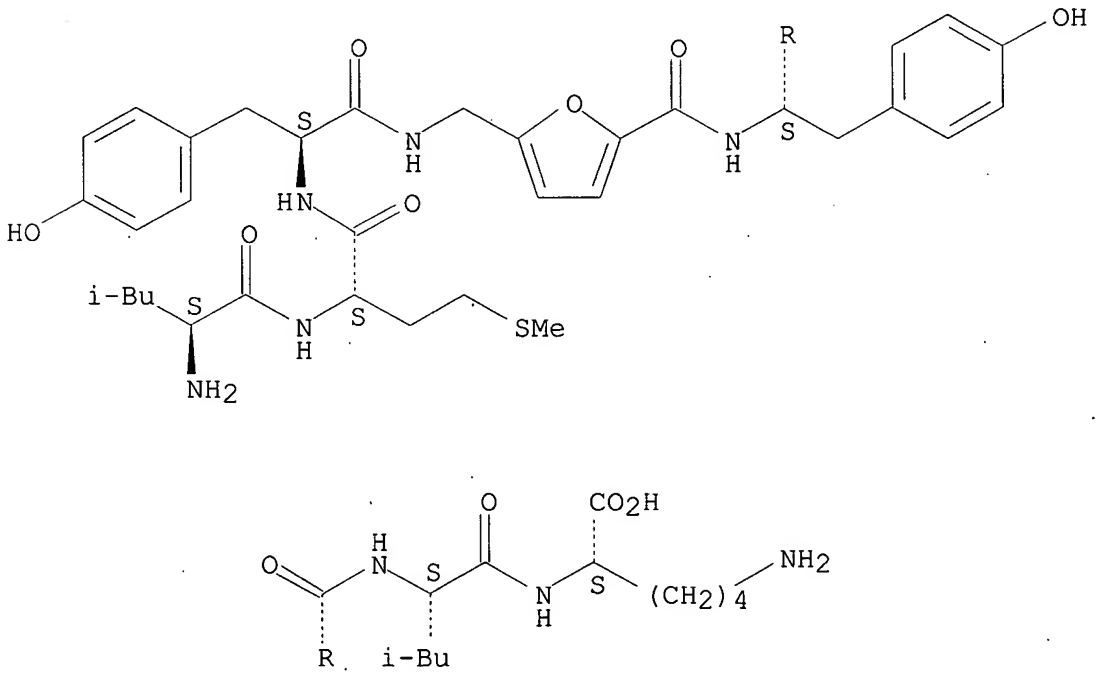
OTHER SOURCE(S): MARPAT 142:219563
IT 840540-68-3P 840540-71-8P 840540-72-9P
840540-73-0P 840540-76-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptides comprising furanoid sugar amino acids for treatment of cancer)
RN 840540-68-3 CAPLUS
CN L-Leucine, L-methionyl-5-(aminomethyl)-2-furancarbonyl-L-tyrosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



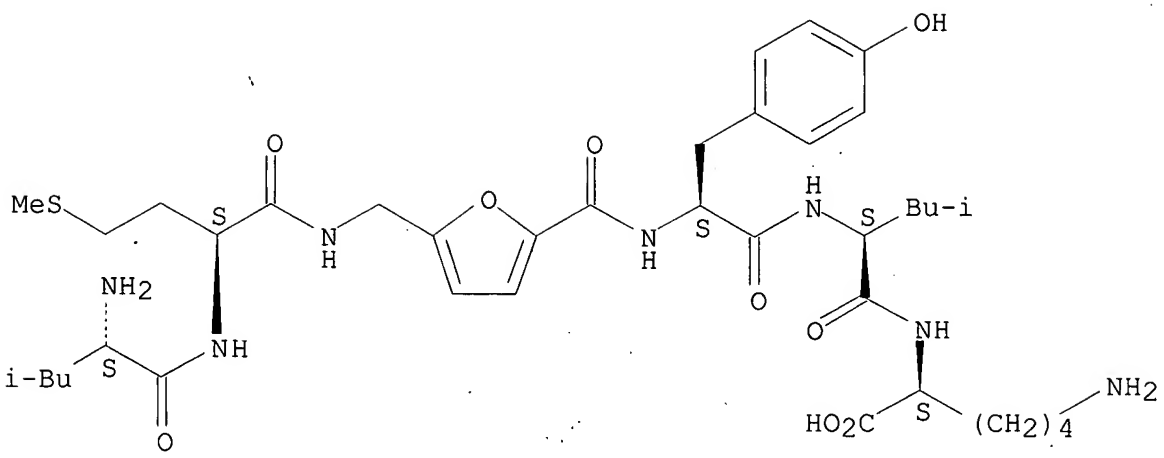
RN 840540-71-8 CAPLUS
CN L-Lysine,
L-leucyl-L-methionyl-L-tyrosyl-5-(aminomethyl)-2-furancarbonyl-L-tyrosyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 840540-72-9 CAPLUS
CN L-Lysine,
L-leucyl-L-methionyl-5-(aminomethyl)-2-furancarbonyl-L-tyrosyl-L-leucyl- (9CI) (CA INDEX NAME)

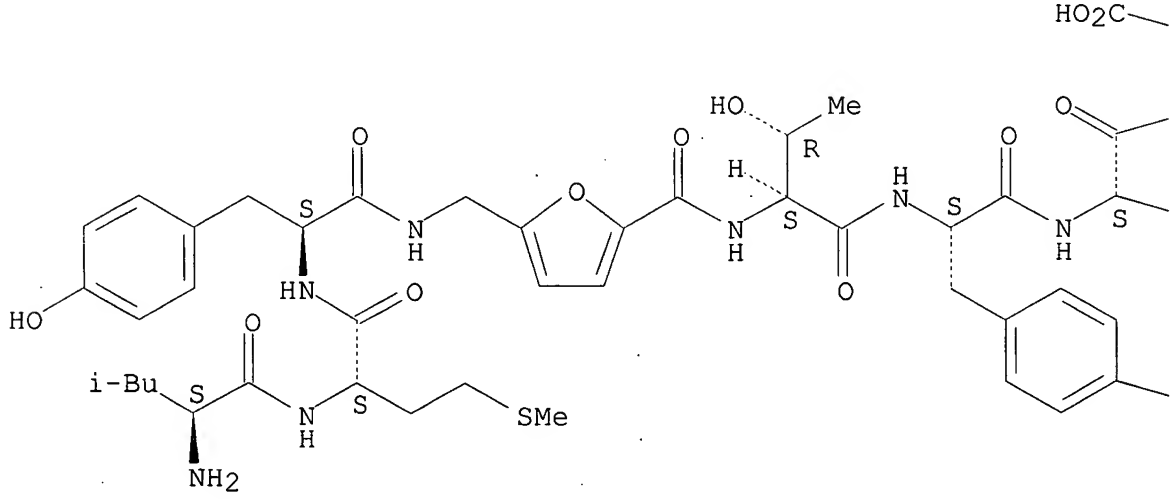
Absolute stereochemistry.



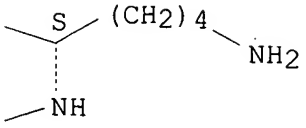
RN 840540-73-0 CAPLUS
CN L-Lysine,
L-leucyl-L-methionyl-L-tyrosyl-5-(aminomethyl)-2-furancarbonyl-L-threonyl-L-tyrosyl-L-leucyl- (9CI) (CA INDEX NAME)

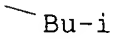
Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

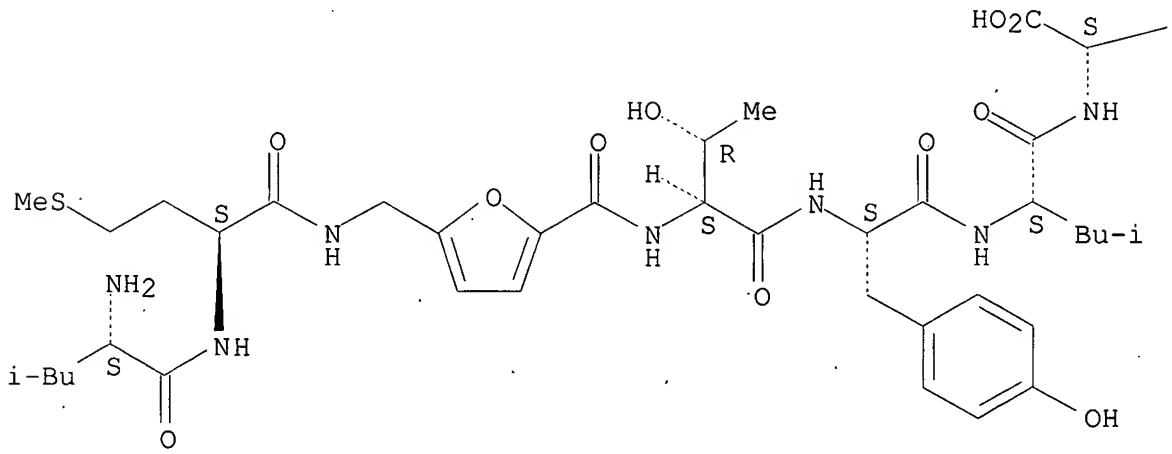




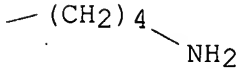
RN 840540-76-3 CAPLUS
CN L-Lysine,
L-leucyl-L-methionyl-5-(aminomethyl)-2-furancarbonyl-L-threonyl-
L-tyrosyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

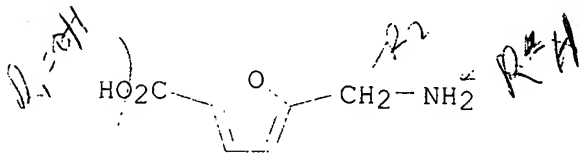


PAGE 1-B

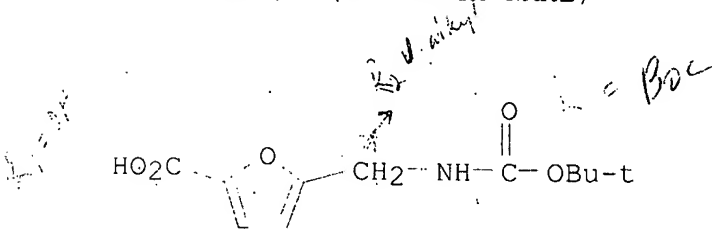


IT 934-65-6P 160938-85-2P 432550-39-5P
840540-55-8P

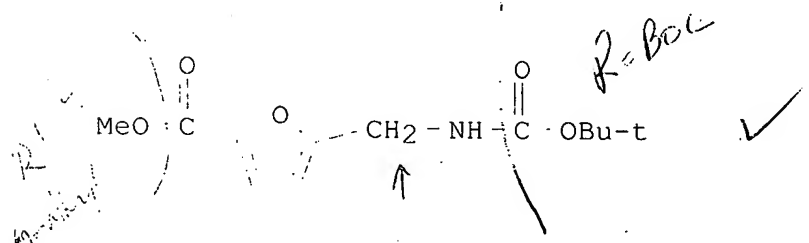
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of peptides comprising furanoid sugar amino acids for treatment of cancer)
RN 934-65-6 CAPLUS
CN 2-Furancarboxylic acid, 5-(aminomethyl)- (9CI) (CA INDEX NAME)



RN 160938-85-2 CAPLUS
CN 2-Furancarboxylic acid, 5-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]- (9CI) (CA INDEX NAME)



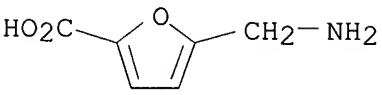
RN 432550-39-5 CAPLUS
CN 2-Furancarboxylic acid, 5-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 840540-55-8 CAPLUS
CN 2-Furancarboxylic acid, 5-(aminomethyl)-, trifluoroacetate (9CI) (CA INDEX NAME)

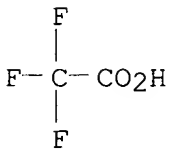
CM 1

CRN 934-65-6
CMF C6 H7 N O3



CM 2

CRN 76-05-1
CMF C2 H F3 O2



AB The invention relates to title anticancer peptides X-Met-A1-A2-A3-Tyr-Leu-Y [X is Leu or deleted, Y is Lys or deleted, A1 is Tyr or a furanoid sugar amino acid, A2 is Pro or a furanoid sugar amino acid, A3 is Thr or a furanoid sugar amino acid ; A1-A2-A3, A1-A2, A2-A3 or A2 may be replaced by a furanoid sugar amino acid acid] and their pharmaceutically-acceptable salts and to methods for synthesis of the peptides and the furanoid sugar amino acids. Thus, Met-Saa1-Tyr-Leu [Saa1 is a 5-(aminomethyl)-2-furancarboxylic acid residue] was prepared by the solid-phase method and assayed for percent cytotoxicity on various human tumor cell lines.

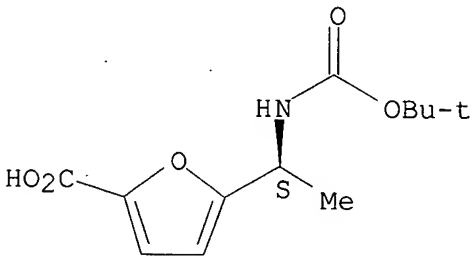
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:1062611 CAPLUS
DOCUMENT NUMBER: 142:156308
TITLE: Cyclic trimers of chiral furan amino acids
AUTHOR(S): Chakraborty, Tushar K.; Tapadar, Subhasish; Raju, T. Venugopal; Annapurna, J.; Singh, Harjinder
CORPORATE SOURCE: Indian Institute of Chemical Technology, Hyderabad, 500 007, India
SOURCE: Synlett (2004), (14), 2484-2488
CODEN: SYNLES; ISSN: 0936-5214

Rec'd 8/19/04
Publ'd Nov. 2004

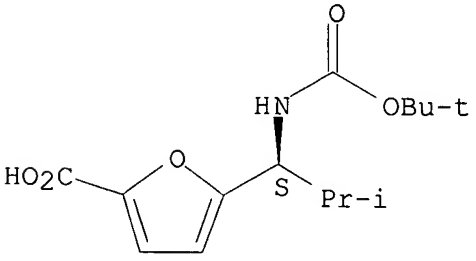
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:156308
IT 828259-68-3P 828259-69-4P 828259-70-7P
828259-72-9P 828259-74-1P 828259-76-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);
RACT
(Reactant or reagent)
(preparation of cyclic tripeptides from chiral furan amino acids by cyclooligomerization, their structures, complexation with tetrabutylammonium salts and antimicrobial activity)
RN 828259-68-3 CAPLUS
CN 2-Furancarboxylic acid,
5-[(1S)-1-[[(1,1-dimethylethoxy)carbonyl]amino]eth
yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



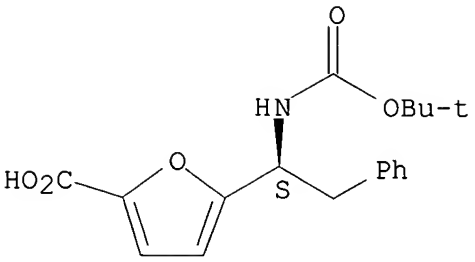

RN 828259-69-4 CAPLUS
CN 2-Furancarboxylic acid,
5-[(1S)-1-[[(1,1-dimethylethoxy)carbonyl]amino]-2-
methylpropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




RN 828259-70-7 CAPLUS
CN 2-Furancarboxylic acid,
5-[(1S)-1-[[(1,1-dimethylethoxy)carbonyl]amino]-2-
phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

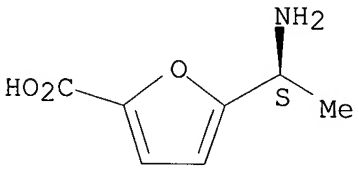


RN 828259-72-9 CAPLUS
CN 2-Furancarboxylic acid, 5-[(1S)-1-aminoethyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

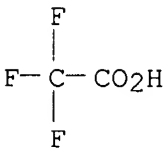
CRN 828259-71-8
CMF C7 H9 N O3

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

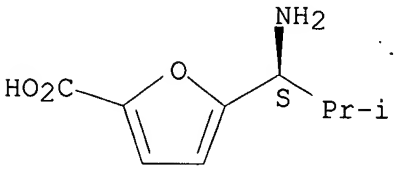


RN 828259-74-1 CAPLUS
CN 2-Furancarboxylic acid, 5-[(1S)-1-amino-2-methylpropyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

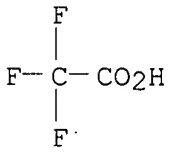
CRN 828259-73-0
CMF C9 H13 N O3

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

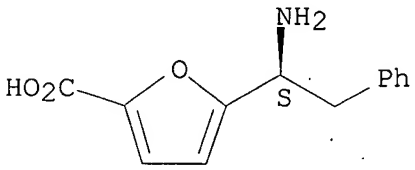


RN 828259-76-3 CAPLUS
CN 2-Furancarboxylic acid, 5-[(1S)-1-amino-2-phenylethyl]-, trifluoroacetate
(9CI) (CA INDEX NAME)

CM 1

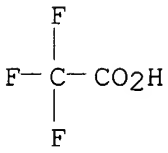
CRN 828259-75-2
CMF C13 H13 N O3

Absolute stereochemistry.



CM 2

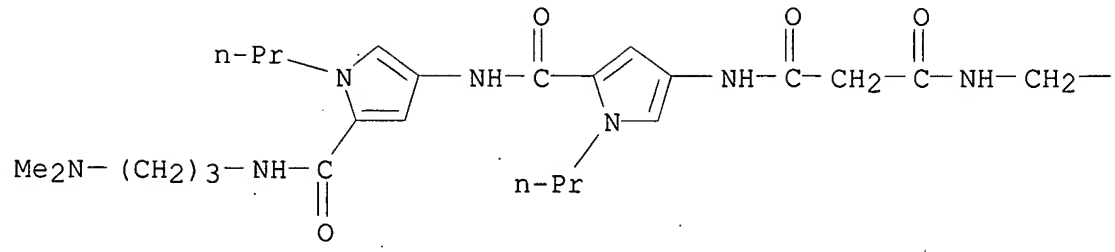
CRN 76-05-1
CMF C2 H F3 O2



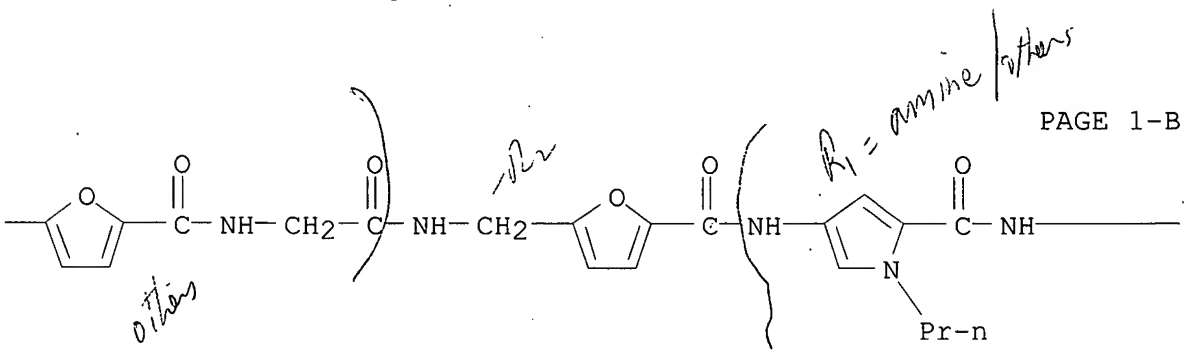
AB Chiral furan amino acids were synthesized as novel peptide building blocks. Cyclooligomerization of these monomers by a single-step process led to the selective formation of chiral C3-sym. cyclic trimers, which were studied for their structures and properties, like anion binding and antimicrobial activities.
REFERENCE COUNT: 70 THERE ARE 70 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L5 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:530862 CAPLUS
DOCUMENT NUMBER: 137:348153
TITLE: A new pseudopeptide motif for designing specific DNA-binding compounds capable of recognizing long DNA
sequences
AUTHOR(S): Nikitin, A. M.; Rodin, S. A.; Pis'menskii, V. F.; Surovaya, A. N.; Gursky, G. V.
CORPORATE SOURCE: Engelhardt Institute of Molecular Biology, Russian Academy of Sciences, Moscow, 119991, Russia
SOURCE: Doklady Biochemistry and Biophysics (2002), 384, 167-171
CODEN: DBBOAL; ISSN: 1607-6729
PUBLISHER: MAIK Nauka/Interperiodica Publishing
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:348153
IT 474380-11-5P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(new pseudopeptide motif for designing specific DNA-binding compds. capable of recognizing long DNA sequences)
RN 474380-11-5 CAPLUS
CN Propanediamide, N-[5-[[[5-[[[3-(dimethylamino)propyl]amino]carbonyl]-1-propyl-1H-pyrrol-3-yl]amino]carbonyl]-1-propyl-1H-pyrrol-3-yl]-N'-[[5-[[[2-[[[5-[[[5-[[[5-[[[3-(dimethylamino)propyl]amino]carbonyl]-1-propyl-1H-pyrrol-3-yl]amino]carbonyl]-1-propyl-1H-pyrrol-3-yl]amino]carbonyl]-2-furanyl]methyl]amino]-2-oxoethyl]amino]carbonyl]-2-furanyl]methyl]-(9CI)
(CA INDEX NAME)

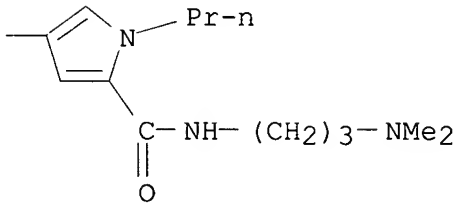
PAGE 1-A



PAGE 1-B

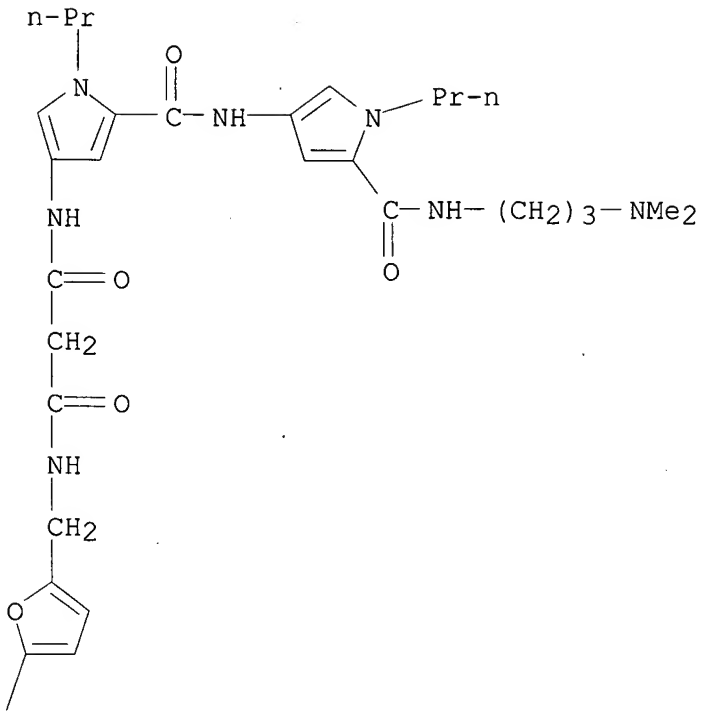


PAGE 1-C

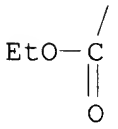


IT 474380-09-1 474380-10-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(new pseudopeptide motif for designing specific DNA-binding compds. capable of recognizing long DNA sequences)
RN 474380-09-1 CAPLUS
CN 2-Furancarboxylic acid,
5-[[[3-[[5-[[[5-[[[3-(dimethylamino)propyl]amino]carbonyl]-1-propyl-1H-pyrrol-3-yl]amino]carbonyl]-1-propyl-1H-pyrrol-3-yl]amino]-1,3-dioxopropyl]amino]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

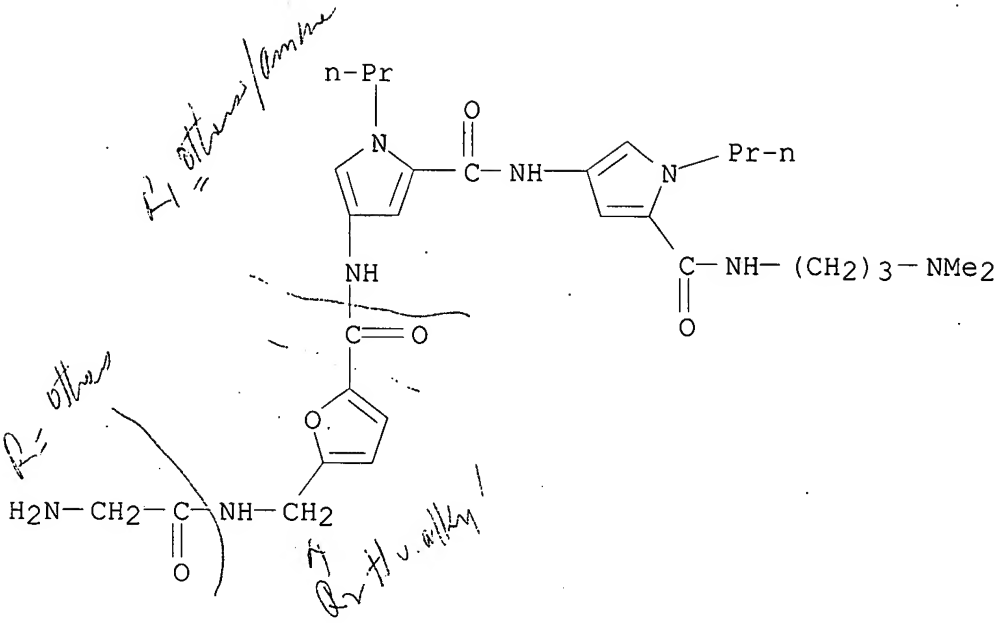
PAGE 1-A



PAGE 2-A



RN 474380-10-4 CAPLUS
CN 1H-Pyrrole-2-carboxamide, 4-[[[5-[[(aminoacetyl)amino]methyl]-2-furanyl]carbonyl]amino]-N-[5-[[[3-(dimethylamino)propyl]amino]carbonyl]-1-propyl-1H-pyrrol-3-yl]-1-propyl- (9CI) (CA INDEX NAME)



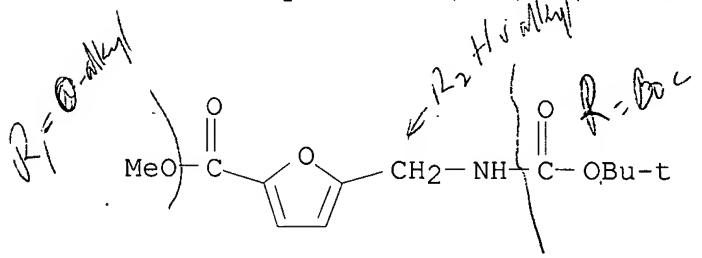

AB A novel approach to the synthesis of specific DNA-binding compds. that can selectively bind to DNA regions with specified nucleotide sequence is proposed. The approach is based on the use of a combination of pyrrole(imidazole)carboxamide and new pseudopeptide fragments consisting of glycine residues and unusual amino acid residues containing a five-membered aromatic heterocycle. Using this approach, a heterodimer containing two netropsin-like fragments linked via the new pseudopeptide motif has been synthesized. Exptl. results provide evidence that the conjugates consisting of pyrrole(imidazole)carboxamide units and new pseudopeptide fragments can recognize long DNA sequences.

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:97586 CAPLUS
DOCUMENT NUMBER: 137:6375
TITLE: Cyclic trimer of 5-(aminomethyl)-2-furancarboxylic acid as a novel synthetic receptor for carboxylate recognition
AUTHOR(S): Chakraborty, Tushar K.; Tapadar, Subhasish; Kiran Kumar, S.
CORPORATE SOURCE: Indian Institute of Chemical Technology, Hyderabad, 500 007, India
SOURCE: Tetrahedron Letters (2002), 43(7), 1317-1320
CODEN: TELEAY; ISSN: 0040-4039

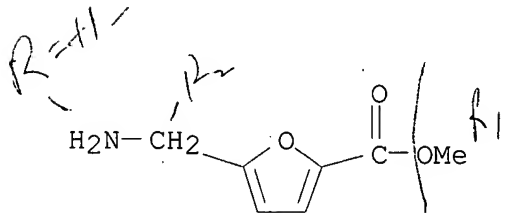
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:6375
IT 432550-39-5P 432550-40-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of cyclic trimer of (aminomethyl)furancarboxylic acid, NMR, and
binding of tetrabutylammonium acetate)
RN 432550-39-5 CAPLUS
CN 2-Furancarboxylic acid,
5-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]-,
methyl ester (9CI) (CA INDEX NAME)



RN 432550-40-8 CAPLUS
CN 2-Furancarboxylic acid, 5-(aminomethyl)-, methyl ester, trifluoroacetate
(9CI) (CA INDEX NAME)

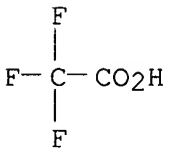
CM 1

CRN 73751-06-1
CMF C7 H9 N O3



CM 2

CRN 76-05-1
CMF C2 H F3 O2



AB A novel 18-membered cyclic oligopeptide based on 5-(aminomethyl)-2-furancarboxylic acid (Faa) is developed as an excellent receptor for carboxylate binding having an association constant of 8.64+103 M-1 for tetrabutylammonium acetate in CD3CN. The synthesis of cyclo(Faa)3 was achieved by a high-yielding cyclotrimerization reaction of the unfunctionalized furan amino acid Faa.

REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:780851 CAPLUS
DOCUMENT NUMBER: 135:344724
TITLE: Preparation of amino acid amide and dipeptide derivatives and antiviral drugs containing the same
INVENTOR(S): Yamazaki, Toru; Maruoka, Hiroshi; Suzuki, Shigeru; Mukade, Tsutomu; Hirose, Kunitaka; Yanaka, Mikiro; Yamamoto, Naoki
PATENT ASSIGNEE(S): Kureha Chemical Industry Co., Ltd., Japan
SOURCE: PCT Int. Appl., 226 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001079168 | A1 | 20011025 | WO 2001-JP3123 | 20010411 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2001048753 | A5 | 20011030 | AU 2001-48753 | 20010411 |
| CA 2405690 | A1 | 20021009 | CA 2001-2405690 | 20010411 |
| EP 1273571 | A1 | 20030108 | EP 2001-921809 | 20010411 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, | | | | |

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
US 2004092556 A1 20040513 US 2002-257340 20021121
US 7098215 B2 20060829
PRIORITY APPLN. INFO.: JP 2000-114067 A 20000414
WO 2001-JP3123 W 20010411

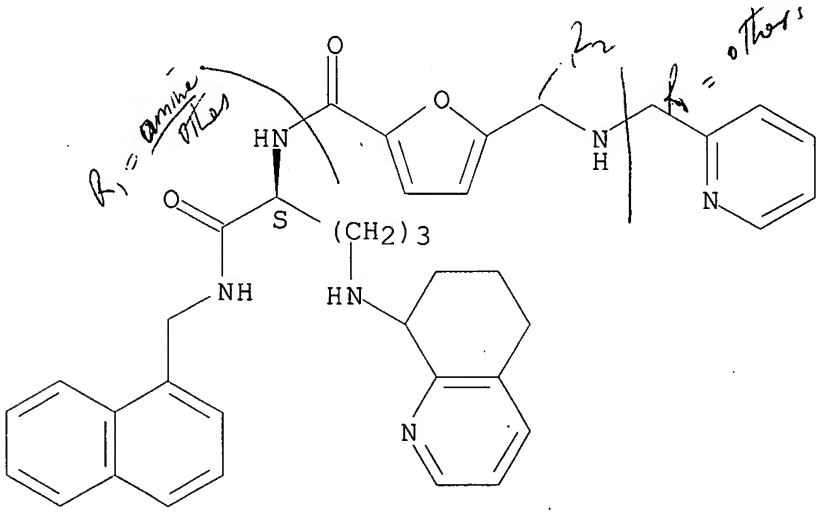
OTHER SOURCE(S): MARPAT 135:344724

IT 369653-20-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acid amide and dipeptide derivs. as antiretroviral drugs for treatment of AIDS)

RN 369653-20-3 CAPLUS

CN 2-Furancarboxamide, N-[(1S)-1-[[(1-naphthalenylmethyl)amino]carbonyl]-4-[(5,6,7,8-tetrahydro-8-quinolinyl)amino]butyl]-5-[[(2-pyridinylmethyl)amino]methyl]-, hydrochloride (9CI) (CA INDEX NAME)

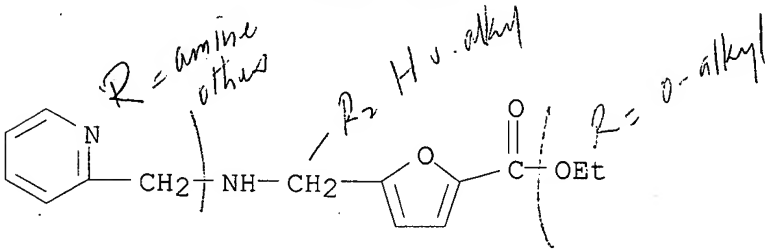
Absolute stereochemistry.



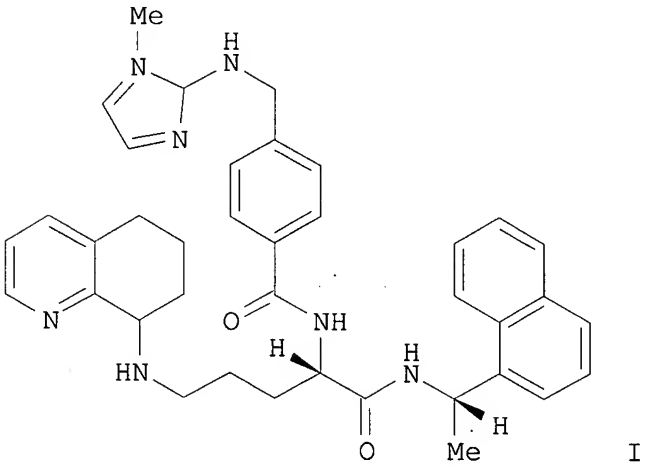

●x HCl

IT 369654-80-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amino acid amide and dipeptide derivs. as antiretroviral drugs for treatment of AIDS)

RN 369654-80-8 CAPLUS
CN 2-Furancarboxylic acid, 5-[[(2-pyridinylmethyl)amino]methyl]-, ethyl ester
(9CI) (CA INDEX NAME)



GI



I

AB Novel nitrogenous compds. represented by general formula A1-(CH2)n1-W-X-CH[(CH2)n2-A2]-Y-D [n1 = 0-3; n2 = 0-4; A1, A2 = (un)substituted guanidino or amidino, A3-B1-NR1-, A3-CR2A4-NR1-; wherein A3, A4 = (un)substituted 5- to 12-membered mono- or polycyclic heterocyclyl which may be partially saturated; B1 = single bond, CR2R3; R1, R2, R3 = H, (un)substituted C1-6 alkyl, C2-6 alkenyl or alkynyl, or R2 is bonded to R1 or R3 to form a ring; W = (un)substituted C1-7 alkylene, C2-7 alkenylene, C2-7 alkynylene, or group B [wherein group B = C3-10 mono- or polycyclic alkylene, (un)substituted 6- to 15-membered ring mono or polycyclic aryl which may be partially saturated, or (un)substituted 6- to 15-membered ring mono or polycyclic heterocyclyl optionally containing 1-3 of

O, S, and N atoms and optionally partially saturated]; D = -W1-G1-G2-W2-G3; W1 = O, S, (un)substituted NR4 or NHNR4 (R4 = H, -G1'-G1'-G2'-W2'-G3'); G1, G1' = single bond, (un)substituted C1-10 alkylene or C2-10 alkenylene or alkynylene, etc.; G2, G2' = single bond, group B;W2, W2' = single bond, O, S, (un)substituted NH, etc.; G3, G3' = H, (un)substituted and linear or branched C1-6 alkyl, C2-6 alkenyl, group B, etc.; X = -Z1-Z-Z2-; wherein Z = CO, S, SO, SO2, (un)substituted CH2; Z1, Z2 = single bond, O, S, (un)substituted NH; Y = CO, S, SO, SO2] are prepared These compds. possess excellent antiretroviral activity and protective activity for cells infected with HIV-1 and are useful for the treatment of AIDS or AIDS-related complications. Thus, Nα-deprotection of Na-Fmoc-Nδ-Boc-L-ornithine (1S)-1-(1-naphthyl)ethylamide with diethylamine in DMF followed by condensation with 4-[N-Boc-N-(1-methylimidazol-2-yl)aminomethyl]benzoic acid using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and HOBt in DMF gave Nα-[4-[[(1-methylimidazol-2-yl)amino]methyl]benzoyl]-Nδ-Boc-L-ornithine N-[(1S)-1-(1-naphthyl)ethyl]amide which underwent Nδ-deprotection with a mixture of 4 M HCl/dioxane and methanol at room temperature for 2 h and reductive amination with 5,6,7,8-tetrahydroquinolin-8-one using sodium cyanoborohydride in methanol, followed by treatment with HCl to give (2S)-2-[[4-[[(1-methylimidazol-2-yl)amino]methyl]benzoyl]amino]-5-(5,6,7,8-tetrahydroquinolin-8-ylamino)valeric acid N-[(1S)-1-(1-naphthyl)ethyl]amide hydrochloride (I.xHCl). I.xHCl in vitro EC50 of 0.025 μM for inhibiting the cell injury of MT-4 cells infected with HIV-1IIIB. A tablet formulation containing Nα-[4-(N-2-picolylaminomethyl)-1-naphthylcarbonyl]-L-arginyl-D-3-(1-naphthyl)alanine was prepared

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

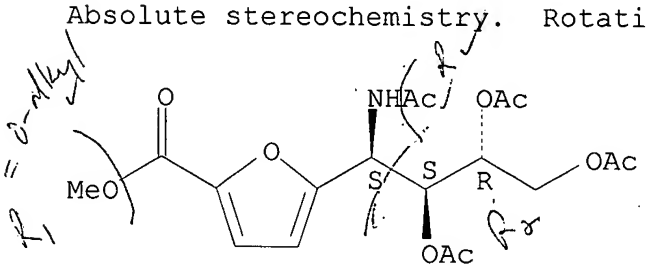
L5 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:566647 CAPLUS
DOCUMENT NUMBER: 131:286349
TITLE: A straightforward synthesis of α-furfuryl amide via Lewis acid-mediated allylic substitution
AUTHOR(S): Sun, Xue-Long; Kai, Toshitsugu; Takayanagi, Hiroaki; Furuhata, Kimio
CORPORATE SOURCE: School Pharmaceutical Sciences, Kitasato Univ., Tokyo,

108, Japan
SOURCE: Synlett (1999), (9), 1399-1400
CODEN: SYNLES; ISSN: 0936-5214
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 131:286349

IT 246247-96-1P 246248-05-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of α-furfuryl amide via Lewis acid-mediated allylic substitution)

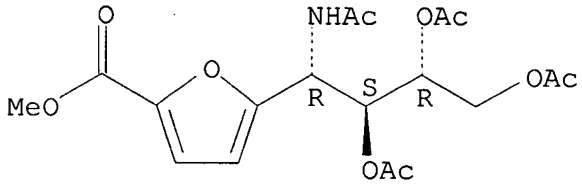
RN 246247-96-1 CAPLUS
CN 2-Furancarboxylic acid, 5-[(1S,2S,3R)-1-(acetylamino)-2,3,4-tris(acetyloxy)butyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).




RN 246248-05-5 CAPLUS
CN 2-Furancarboxylic acid, 5-[(1R,2S,3R)-1-(acetylamino)-2,3,4-tris(acetyloxy)butyl]-, methyl ester (9CI) (CA INDEX NAME)

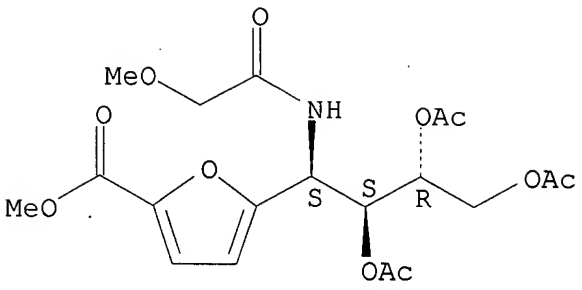
Absolute stereochemistry. Rotation (+).




IT 246247-97-2P 246247-98-3P 246247-99-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of α-furfuryl amide via Lewis acid-mediated allylic substitution)

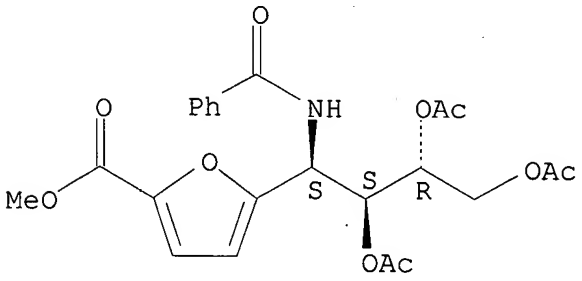
RN 246247-97-2 CAPLUS
CN 2-Furancarboxylic acid, 5-[(1S,2S,3R)-2,3,4-tris(acetyloxy)-1-[(methoxyacetyl)amino]butyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



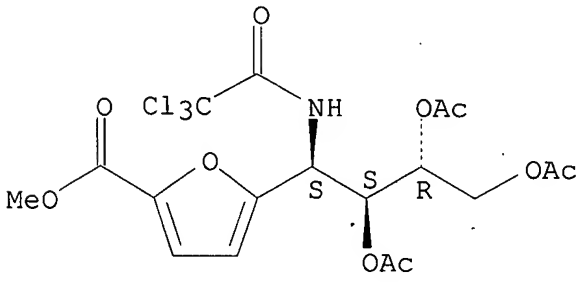
RN 246247-98-3 CAPLUS
CN 2-Furancarboxylic acid, 5-[(1S,2S,3R)-2,3,4-tris(acetyloxy)-1-(benzoylamino)butyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 246247-99-4 CAPLUS
CN 2-Furancarboxylic acid, 5-[(1S,2S,3R)-2,3,4-tris(acetyloxy)-1-[(trichloroacetyl)amino]butyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



AB α-[5-(Methoxycarbonyl)furfuryl] amide was prepared by Lewis-acid-catalyzed allylic substitution of the corresponding α-(methoxycarbonyl)furfuryl carbinol acetate with various nitriles as nucleophiles, and the so formed amides were subjected to oxidative cleavage of the furan ring to afford N-protected polyhydroxy amino acids.

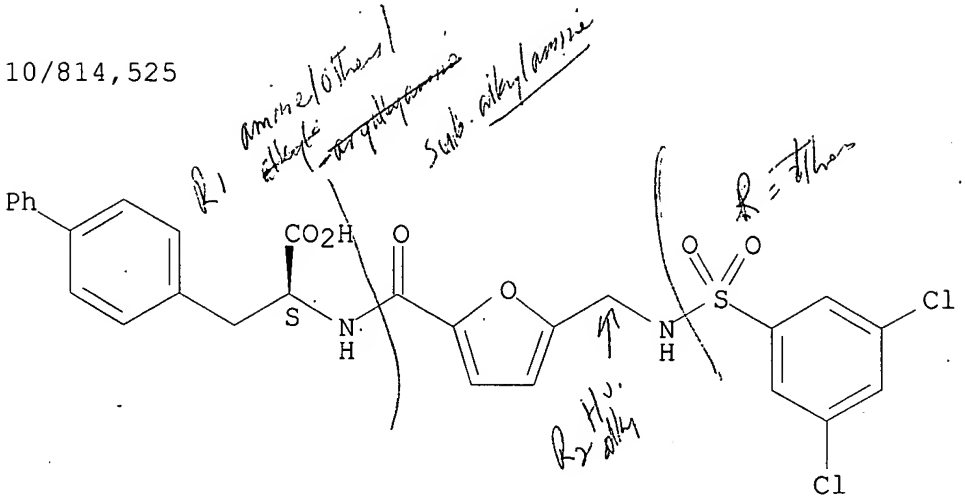
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L5 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:354480 CAPLUS
DOCUMENT NUMBER: 131:5526
TITLE: Preparation of p-aminomethylbenzoyl amino acid derivatives
INVENTOR(S): Delaszlo, Stephen E.; Hagmann, William K.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 92 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|
| WO 9926923 | A1 | 19990603 | WO 1998-US24410 | | 19981117 |
| W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | | |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | | |
| US 6191171 | B1 | 20010220 | US 1998-191489 | | 19981113 |
| AU 9914114 | A | 19990615 | AU 1999-14114 | | 19981117 |
| PRIORITY APPLN. INFO.: | | | US 1997-66228P | P | 19971120 |
| | | | GB 1997-27220 | A | 19971223 |
| | | | WO 1998-US24410 | W | 19981117 |

OTHER SOURCE(S): MARPAT 131:5526
IT 225527-86-6P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological
study); PREP (Preparation); USES (Uses)
(preparation of p-aminomethylbenzoyl amino acid derivs.
as cell adhesion inhibitors)
RN 225527-86-6 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[[[5-[[[(3,5-dichlorophenyl)sulfonyl]amino]methyl]-2-furanyl]carbonyl]amino]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




AB P-aminomethylbenzoyl amino acids R1-L-NR2CHR4-Ar-CONR3CR5R6-X-Z [Ar = (un)substituted 1,4-phenylene or -heteroarylene; L = CO, OCO, NHCO or substituted iminocarbonyl, SO2, P(O)OH or esters, COCO; X = a bond, CH2 or substituted methylene; Z = CO2H or esters or amides, PO3H2, PH(O)OH, S(O)mOH or their esters (m = 0-2), 5-tetrazolyl; R1 = (un)substituted alkyl, alkenyl, alkynyl, Cy (Cy = cycloalkyl, heterocyclyl, aryl, heteroaryl), Cy-alkyl, -alkenyl, or -alkynyl; R2 = H, (un)substituted alkyl, Cy, Cy-alkyl; R3 = H, (un)substituted alkyl or Cy; R4 = H or R1; or R4 is joined to Ar at the ortho position; R5, R6 = H, alkyl, alkenyl, alkynyl, Cp, etc.] were prepared as antagonists of VLA-4 and/or α4β7 and as such are useful in the inhibition or prevention of cell adhesion and cell-adhesion mediated pathologies. Thus, N-[4-[[(3,5-dichlorobenzenesulfonyl)amino]methyl]benzoyl]-L-4-fluorophenylalanine was prepared by coupling of N-Fmoc-4-aminomethylbenzoic acid (Fmoc = fluorenylmethoxycarbonyl) with L-4-fluorophenylalanine tert-Bu ester, followed by deprotection, sulfonylation with 3,5-dichlorophenylsulfonyl chloride, and ester cleavage.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

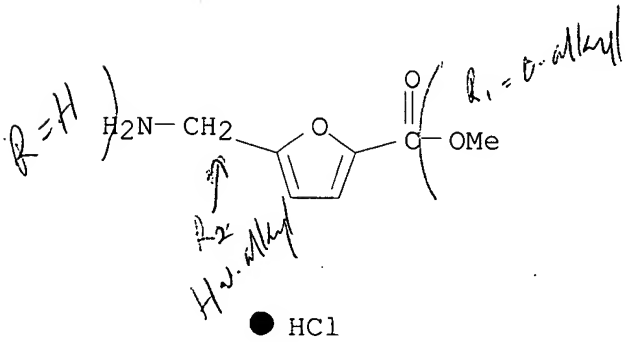
L5 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1995:356700 CAPLUS
DOCUMENT NUMBER: 122:133849
TITLE: Preparation of peptides cyclocondensed to heterocyclic rings useful as antagonists of platelet glycoprotein IIb/IIIa
INVENTOR(S): Wells, Gregory James; Wityak, John; Parthasarathy, Anju; DeGrado, William Frank; Jackson, Sharon Anne;

Mousa, Shaker Ahmed
PATENT ASSIGNEE(S): Du Pont Merck Pharmaceutical Co., USA
SOURCE: PCT Int. Appl., 179 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

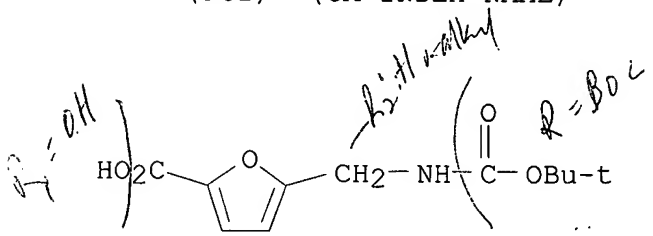
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9411398 | A1 | 19940526 | WO 1993-US10710 | 19931112 |
| W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, UZ, VN | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| CA 2148945 | A1 | 19940526 | CA 1993-2148945 | 19931112 |
| AU 9455942 | A | 19940608 | AU 1994-55942 | 19931112 |
| EP 672059 | A1 | 19950920 | EP 1994-901303 | 19931112 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| JP 08503217 | T | 19960409 | JP 1993-512243 | 19931112 |
| US 5773411 | A | 19980630 | US 1994-338977 | 19941114 |
| US 5849693 | A | 19981215 | US 1997-820424 | 19970312 |

PRIORITY APPLN. INFO.: US 1992-978475 A 19921118
WO 1993-US10710 W 19931112
US 1994-338977 A1 19941114

OTHER SOURCE(S): MARPAT 122:133849
IT 160938-84-1P, Methyl 5-aminomethyl-2-furoate hydrochloride
160938-85-2P 160938-87-4P 160938-88-5P
160938-89-6P 160938-91-0P 160938-93-2P
160938-94-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for cyclopeptide derivative antithrombotic)
RN 160938-84-1 CAPLUS
CN 2-Furancarboxylic acid, 5-(aminomethyl)-, methyl ester, hydrochloride (9CI) (CA INDEX NAME)



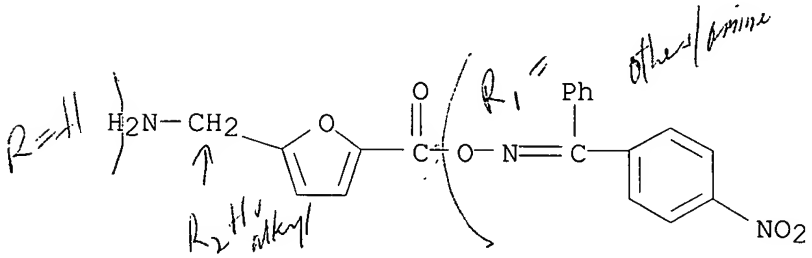
RN 160938-85-2 CAPLUS
CN 2-Furancarboxylic acid,
5-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]-
(9CI) (CA INDEX NAME)



RN 160938-87-4 CAPLUS
CN Methanone, (4-nitrophenyl)phenyl-, O-[[5-(aminomethyl)-2-
furanyl]carbonyl]oxime, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

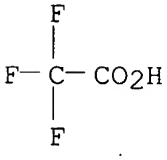
CM 1

CRN 160938-86-3
CMF C19 H15 N3 O5

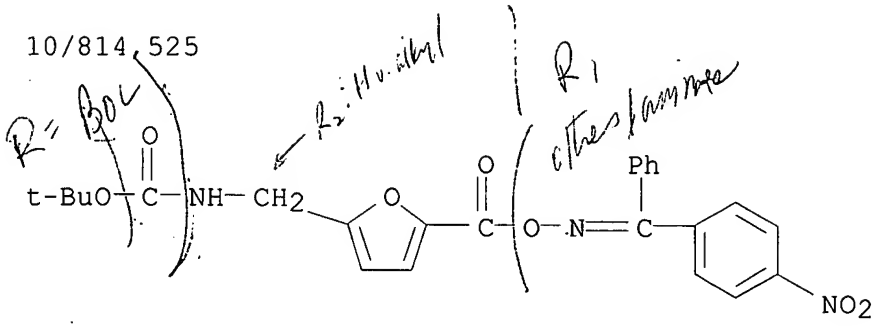


CM 2

CRN 76-05-1
CMF C2 H F3 O2

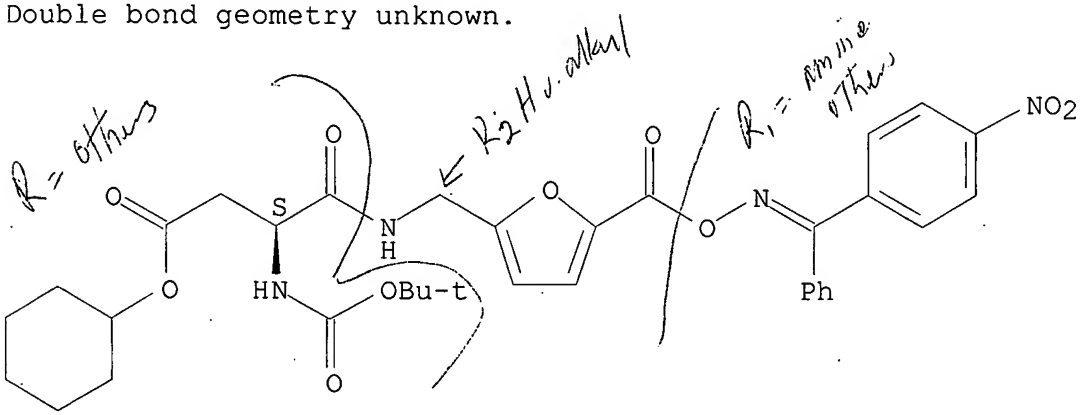


RN 160938-88-5 CAPLUS
CN Carbamic acid,
[[5-[[[[(4-nitrophenyl)phenylmethylene]amino]oxy]carbonyl]-
2-furanyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 160938-89-6 CAPLUS
CN Butanoic acid, 3-[[(1,1-dimethylethoxy)carbonyl]amino]-4-[[[5-[[[[(4-nitrophenyl)phenylmethylene]amino]oxy]carbonyl]-2-furanyl]methyl]amino]-4-oxo-, cyclohexyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

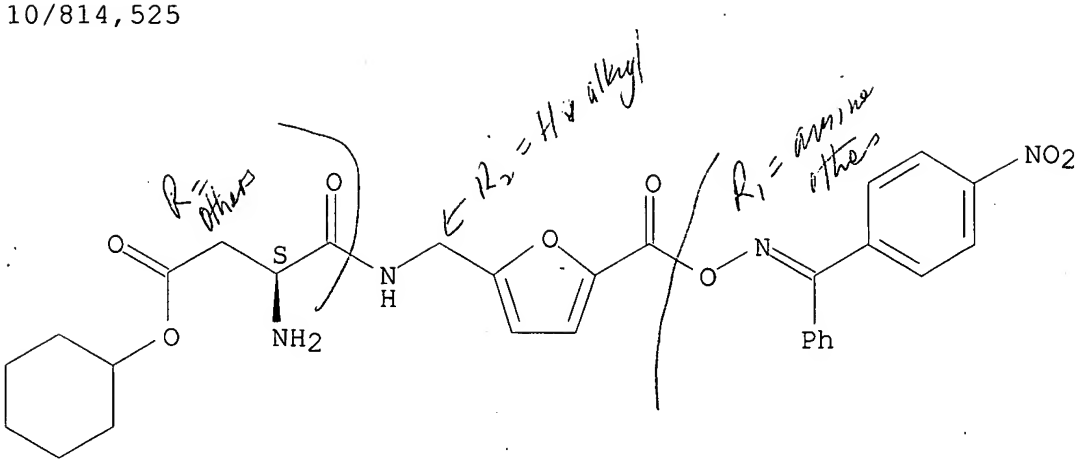


RN 160938-91-0 CAPLUS
CN Butanoic acid,
3-amino-4-[[[5-[[[[(4-nitrophenyl)phenylmethylene]amino]oxy]carbonyl]-2-furanyl]methyl]amino]-4-oxo-, cyclohexyl ester, (S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

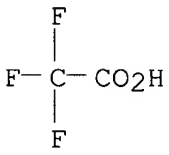
CRN 160938-90-9
CMF C29 H30 N4 O8

Absolute stereochemistry.
Double bond geometry unknown.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

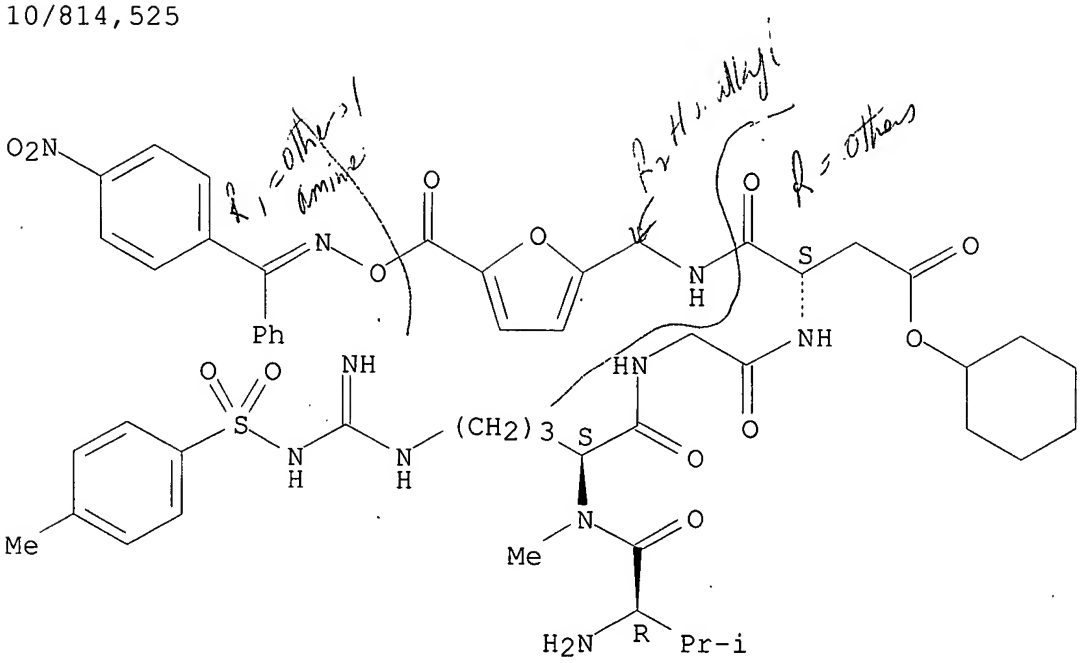


RN 160938-93-2 CAPLUS
CN L-α-Asparagine, N2-[N-[N5-[imino[[(4-methylphenyl)sulfonyl]amino]methyl]-N2-methyl-N2-D-valyl-L-ornithyl]glycyl]-N-[[5-[[[[(4-nitrophenyl)phenylmethylene]amino]oxy]carbonyl]-2-furanyl]methyl]-, cyclohexyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

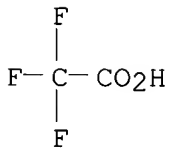
CRN 160938-92-1
CMF C50 H62 N10 O13 S

Absolute stereochemistry.
Double bond geometry unknown.



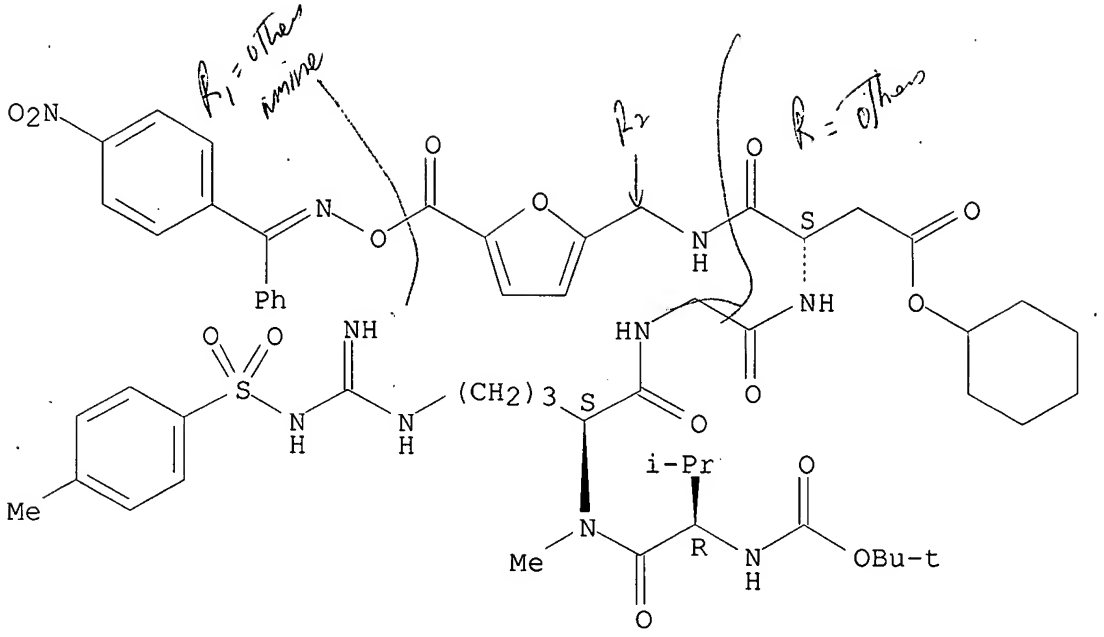
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 160938-94-3 CAPLUS
CN L-α-Asparagine, N2-[N-[N2-[N-[(1,1-dimethylethoxy)carbonyl]-D-valyl]-N5-[imino[[(4-methylphenyl)sulfonyl]amino]methyl]-N2-methyl-L-ornithyl]glycyl]-N-[[5-[[[[(4-nitrophenyl)phenylmethylene]amino]oxy]carbonyl]-2-furanyl]methyl]-, cyclohexyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.




GI

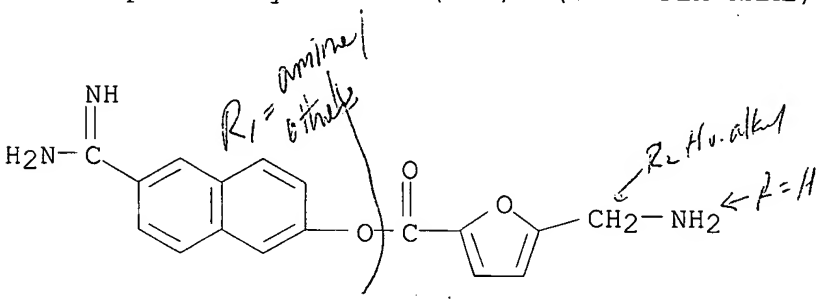
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. [I; R31 = 5-14 membered (unsatd.) (aromatic) heterocyclic ring
and N-oxide forms thereof; n, m = 0-3; R1, R22 = H, (substituted) alkyl,
alkenyl, alkynyl, cycloalkyl, bicycloalkyl, aryl, heterocyclyl; R1R2, R1R21, R22R23 = atoms to form (substituted) carbocyclic ring; R2 = H, alkyl; R21, R23 = H, (halo)alkyl, alkoxy, PhCH2; J, K, M = amino acid residues; L = Y(CH2)vCO; Y = NH, alkylimino, O, S; v = 1, 2], were prepared Thus, title compound II was prepared as the trifluoroacetate salt
via cyclocondensation of aminothiazoleacetate derivative III (preparation given)
with BOC-D-Val-NMeArg(Tos)-Gly-OH. Title compds. inhibited platelet aggregation with IC50's of <1 μM.

L5 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1992:645218 CAPLUS
DOCUMENT NUMBER: 117:245218
TITLE: Effect of amidinonaphthol derivatives on the ligand binding site of the platelet integrin receptor GPIIb-IIIa. Chemical cross-linking approach
AUTHOR(S): Hodohara, Keiko; Fujiyama, Yoshihide; Inoue, Tetsuya;
Kitoh, Katsuyuki; Hirotani, Shuichi; Niwakawa, Mitsuyuki; Andoh, Akira; Bamba, Tadao; Hosoda, Shiro;

Yasunaga, Kohjiro
CORPORATE SOURCE: 2nd Dep. Intern. Med., Shiga Univ. Med. Sci., Otsu, 520-21, Japan
SOURCE: Nippon Kessen Shiketsu Gakkaishi (1992), 3(3), 163-8
CODEN: NKSGEL; ISSN: 0915-7441
DOCUMENT TYPE: Journal
LANGUAGE: Japanese

IT 103232-13-9, FUT 6258
RL: BIOL (Biological study)
(platelet integrin receptor glycoprotein IIb/IIIa binding of RGD peptides inhibition by, of humans)
RN 103232-13-9 CAPLUS
CN 2-Furancarboxylic acid, 5-(aminomethyl)-, 6-(aminoiminomethyl)-2-naphthalenyl ester (9CI) (CA INDEX NAME)




AB The authors have previously reported that amidinonaphthol derivs,. which have been developed as synthetic serine protease inhibitors, inhibited the binding of adhesive proteins, such as fibrinogen and fibronectin, to ADP-stimulated platelets in a competitive manner. Because this effect was similar to those of Arg-Gly-Asp (RGD) peptides, the effect of amidinonaphthol derivs. on the chemical crosslinking of RGD-peptides to stimulated platelets was studied. The radiolabeled peptides including RGD-sequence (RGDSPASSKP and KYGRGDS) were coupled to platelets by subsequent addition of chemical crosslinking agent. Platelet membrane glycoprotein IIb-IIIa (GPIIb-IIIa) became radiolabeled with the RGD peptide, and stimulation with ADP increased the extent of crosslinking. Crosslinking of the labeled peptides to ADP-stimulated platelets was inhibited by excess of nonlabeled RGD peptides, an amino acid sequence corresponding to the carboxyl terminus of τ-chain of fibrinogen, fibrinogen and fibronectin, but not by Gly-Arg-Gly-Glu-Ser-Pro (GRGESP). The crosslinking reaction was inhibited by addition of amidinonaphthol derivs., such as nafamostat mesilate or FUT-6258, but less effectively by gabexate mesilate, which does not have amidinonaphthol in the structure. The inhibitory effect of nafamostat mesilate was dose-dependent, and 50% inhibition was obtained at the concentration of 6 + 10-5 M. This result suggested that amidinonaphthol derivs.

inhibited the binding of adhesive proteins to platelets by blockade of RGD peptide binding sites on GPIIb-IIIa.

```
=> log y
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                              71.49     243.80

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)    SINCE FILE      TOTAL
                                                 ENTRY    SESSION
CA SUBSCRIBER PRICE                              -9.36      -9.36

STN INTERNATIONAL LOGOFF AT 16:07:12 ON 15 FEB 2007
```